

$R^1$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

$R^2$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

wherein Ph denotes a phenyl group which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from a C<sub>1-4</sub> alkyl group, -F, -Cl, -Br, -I, -CN, or -NO<sub>2</sub>;

$R^{1a}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group;

$R^{2a}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group;

$R^{1b}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group;

$R^{2b}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group;

$R^3$  is -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CH<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub>;

$R^4$  is -H, -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CH<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub>;

$R^5$  is -H or -F;

with the proviso that if  $R^4$  is -H, then  $R^3$  is not -F;

$R^7$  is -H, -C(CH<sub>3</sub>)<sub>3</sub>, or -CH<sub>2</sub>-CH=CH<sub>2</sub>;

Z is -CH<sub>2</sub>-T-W;

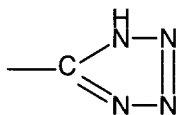
T is -CH<sub>2</sub>-, -O-, -S-, -(S=O)-, or -(SO<sub>2</sub>)-

the group -CH<sub>2</sub>-T- may optionally be substituted with 1 or 2 substituents, denoted Q<sup>1</sup> and Q<sup>2</sup> respectively, on carbon, wherein Q<sup>1</sup> and Q<sup>2</sup> are independently a C<sub>1-4</sub>alkyl group or a halogen; or, when Q<sup>1</sup> and Q<sup>2</sup> are bonded to adjacent carbon atoms, Q<sup>1</sup> and

Q<sup>2</sup> together may form a C<sub>3-4</sub>alkylene radical optionally substituted with 1, 2, 3 or 4 substituents independently selected from C<sub>1-4</sub>alkyl groups and halogens;

W is one of:

- (1) -COOH ;
- (2) -(C=O)OR<sup>8</sup> ;
- (3) -(C=O)NR<sup>9</sup>R<sup>9</sup> ;
- (4) -SO<sub>2</sub>NHR<sup>10</sup> ;
- (5) -SO<sub>2</sub>OR<sup>11</sup> ;
- (6) -PO<sub>3</sub>R<sup>11</sup>R<sup>11</sup> ;
- (7) a tetrazol-5-yl group:



- (8) -CONH-SO<sub>2</sub>R<sup>12</sup> ; and,
- (9) -M-Het;

with the proviso that if T is -O-, -S-, -(S=O)-, or -(SO<sub>2</sub>)-, then W is not -COOH;

wherein:

R<sup>8</sup> is a C<sub>1-6</sub>alkyl group, a C<sub>3-6</sub>cycloalkyl group, a C<sub>5-20</sub>aryl group, or -CH<sub>2</sub>-CH=CH<sub>2</sub> ;

wherein the C<sub>5-20</sub>aryl group may optionally be substituted on carbon with from 1 to 4 substituents selected from -COOH, -OH, -NH<sub>2</sub>, -CH<sub>2</sub>NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-4</sub>COOH, tetrazol-5-yl, and -SO<sub>3</sub>H;

$R^9$  is independently -H, a  $C_{1-6}$ alkyl group, a  $C_{3-6}$ cycloalkyl group, a  $C_{5-20}$ aryl group, a  $C_{7-9}$ aralkyl group, or a  $C_{5-20}$ heteroaryl group linked to N via carbon;

wherein the  $C_{5-20}$ aryl group, the  $C_{5-20}$ heteroaryl group, and aryl moiety of the  $C_{7-9}$ aralkyl group may optionally be substituted on carbon with from 1 to 4 substituents selected from -COOH, -OH, -NH<sub>2</sub>, -CH<sub>2</sub>NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-4</sub>COOH, tetrazol-5-yl, and -SO<sub>3</sub>H;

and wherein the  $C_{3-6}$ cycloalkyl group may optionally carry a methyl group;

$R^{10}$  is a  $C_{1-6}$ alkyl group, -CH<sub>2</sub>-CH=CH<sub>2</sub>, a  $C_{3-6}$ cycloalkyl group, a  $C_{1-4}$ haloalkyl group (e.g., -CF<sub>3</sub>, -CH<sub>2</sub>CF<sub>3</sub>), or a  $C_{5-20}$ aryl group;

wherein the  $C_{5-20}$ aryl group, the  $C_{5-20}$ heteroaryl group, and aryl moiety of the  $C_{7-9}$ aralkyl group may optionally be substituted on carbon with from 1 to 4 substituents selected from -COOH, -OH, -NH<sub>2</sub>, -CH<sub>2</sub>NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>1-4</sub>COOH, tetrazol-5-yl, and -SO<sub>3</sub>H;

and wherein the  $C_{3-6}$ cycloalkyl group may optionally carry a methyl group;

$R^{11}$  represents -H, a  $C_{1-6}$ alkyl group, or a  $C_{3-6}$ cycloalkyl group;

$R^{12}$  is one of:

- (a) a  $C_{3-7}$ cycloalkyl group;
- (b) a  $C_{1-6}$ alkyl group, optionally substituted with one or more of: a phenyl group; a phenyl group with from 1 to 5 substituents selected from halogen, -NO<sub>2</sub>, -CF<sub>3</sub>,  $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy, -NH<sub>2</sub>, -NHCOCH<sub>3</sub>, -CONH<sub>2</sub>, -OCH<sub>2</sub>COOH, -NH( $C_{1-4}$ alkyl), -N( $C_{1-4}$ alkyl)<sub>2</sub>, -NHCOOC $C_{1-4}$ alkyl, -OH, -COOH, -CN and -COOC $C_{1-4}$ alkyl; a  $C_{1-4}$ alkyl group; a  $C_{1-4}$ haloalkyl group; or a halogen; and,

- (c) a  $C_{1-6}$ perfluoroalkyl group;

M represents -S-, -SO-, or -SO<sub>2</sub>-; and,

Het represents a 5 or 6 membered heterocyclic aromatic ring linked to M via a carbon atom of the aromatic ring, said aromatic ring containing 1, 2, 3 or 4 heteroatoms selected from the group consisting of O, N and S said aromatic ring optionally being substituted on carbon atoms of the ring with 1, 2, 3 or 4 substituents selected from the group consisting of -OH, -SH, -CN, -CF<sub>3</sub>, NH<sub>2</sub> and halogen.

49. (new) A compound according to claim 48, wherein:

R<sup>1</sup> and R<sup>2</sup> are independently -I, -Br, or -Cl.

50. (new) A compound according to claim 48, wherein: R<sup>1</sup> and R<sup>2</sup> are both -I.

51. (new) A compound according to claim 48, wherein:

R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, R<sup>2b</sup> are each independently -H or -CH<sub>3</sub>.

52. (new) A compound according to claim 48, wherein:

R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, R<sup>2b</sup> are each independently -H or -CH<sub>3</sub>.

53. (new) A compound according to claim 48, wherein: R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, R<sup>2b</sup> are all -H.

54. (new) A compound according to claim 49, wherein: R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, R<sup>2b</sup> are all -H.

55 (new) A compound according to claim 50, wherein:  $R^{1a}$ ,  $R^{1b}$ ,  $R^{2a}$ ,  $R^{2b}$  are all -H.

56. (new) A compound according to claim 48, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; or,
- (b)  $R^3$  and  $R^4$  are both -F.

57. (new) A compound according to claim 49, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; or,
- (b)  $R^3$  and  $R^4$  are both -F.

58. (new) A compound according to claim 50, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; or,
- (b)  $R^3$  and  $R^4$  are both -F.

59. (new) A compound according to claim 54, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; or,
- (b)  $R^3$  and  $R^4$  are both -F.

60. (new) A compound according to claim 55, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; or,

(b)  $R^3$  and  $R^4$  are both -F.

61. (new) A compound according to claim 48, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; and,  $R^5$  is -H; or,
- (b)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -F; or,
- (c)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -H.

62. (new) A compound according to claim 49, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; and,  $R^5$  is -H; or,
- (b)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -F; or,
- (c)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -H.

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63. (new) A compound according to claim 50, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; and,  $R^5$  is -H; or,
- (b)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -F; or,
- (c)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -H.

64. (new) A compound according to claim 54, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and -H, respectively; and,  $R^5$  is -H; or,
- (b)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -F; or,
- (c)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -H.

65. (new) A compound according to claim 55, wherein:

- (a)  $R^3$  and  $R^4$  are  $-CF_3$  and  $-H$ , respectively; and,  $R^5$  is  $-H$ ; or,
- (b)  $R^3$  and  $R^4$  are both  $-F$ ; and,  $R^5$  is  $-F$ ; or,
- (c)  $R^3$  and  $R^4$  are both  $-F$ ; and,  $R^5$  is  $-H$ .

66. (new) A compound according to claim 48, wherein:

Z is  $-CH_2-T-C(=O)OH$  or  $-CH_2-T-C(=O)OR^8$ ; and, T is  $-CH_2-$ .

67. (new) A compound according to claim 49, wherein:

Z is  $-CH_2-T-C(=O)OH$  or  $-CH_2-T-C(=O)OR^8$ ; and, T is  $-CH_2-$ .

68. (new) A compound according to claim 50, wherein:

Z is  $-CH_2-T-C(=O)OH$  or  $-CH_2-T-C(=O)OR^8$ ; and, T is  $-CH_2-$ .

69. (new) A compound according to claim 54, wherein:

Z is  $-CH_2-T-C(=O)OH$  or  $-CH_2-T-C(=O)OR^8$ ; and, T is  $-CH_2-$ .

70. (new) A compound according to claim 55, wherein:

Z is  $-CH_2-T-C(=O)OH$  or  $-CH_2-T-C(=O)OR^8$ ; and, T is  $-CH_2-$ .

71. (new) A compound according to claim 56, wherein:

Z is  $-CH_2-T-C(=O)OH$  or  $-CH_2-T-C(=O)OR^8$ ; and, T is  $-CH_2-$ .

72. (new) A compound according to claim 61, wherein:

Z is  $-\text{CH}_2\text{-T-C(=O)OH}$  or  $-\text{CH}_2\text{-T-C(=O)OR}^8$ ; and, T is  $-\text{CH}_2-$ .

73. (new) A compound according to claim 62, wherein:

Z is  $-\text{CH}_2\text{-T-C(=O)OH}$  or  $-\text{CH}_2\text{-T-C(=O)OR}^8$ ; and, T is  $-\text{CH}_2-$ .

74. (new) A compound according to claim 63, wherein:

Z is  $-\text{CH}_2\text{-T-C(=O)OH}$  or  $-\text{CH}_2\text{-T-C(=O)OR}^8$ ; and, T is  $-\text{CH}_2-$ .

75. (new) A compound according to claim 66, wherein:  $\text{R}^8$  is  $-\text{H}$ ,  $-\text{C}(\text{CH}_3)_3$ , or

  $-\text{CH}_2\text{-CH=CH}_2$ .

76. (new) A compound according to claim 67, wherein:  $\text{R}^8$  is  $-\text{H}$ ,  $-\text{C}(\text{CH}_3)_3$ , or

$-\text{CH}_2\text{-CH=CH}_2$ .

77. (new) A compound according to claim 68, wherein:  $\text{R}^8$  is  $-\text{H}$ ,  $-\text{C}(\text{CH}_3)_3$ , or

$-\text{CH}_2\text{-CH=CH}_2$ .

78. (new) A compound according to claim 69, wherein:  $\text{R}^8$  is  $-\text{H}$ ,  $-\text{C}(\text{CH}_3)_3$ , or

$-\text{CH}_2\text{-CH=CH}_2$ .



79. (new) A compound according to claim 70, wherein:  $R^8$  is -H,  $-C(CH_3)_3$ , or  $-CH_2-CH=CH_2$ .

80. (new) A compound according to claim 71, wherein:  $R^8$  is -H,  $-C(CH_3)_3$ , or  $-CH_2-CH=CH_2$ .

81. (new) A compound according to claim 72, wherein:  $R^8$  is -H,  $-C(CH_3)_3$ , or  $-CH_2-CH=CH_2$ .

82. (new) A compound according to claim 73, wherein:  $R^8$  is -H,  $-C(CH_3)_3$ , or  $-CH_2-CH=CH_2$ .

83. (new) A compound according to claim 74, wherein:  $R^8$  is -H,  $-C(CH_3)_3$ , or  $-CH_2-CH=CH_2$ .

84. (new) A compound selected from:

{3,5-difluoro-4-[bis(2-iodoethyl)amino]benzoyl}-L-glutamic acid;

{3,5-difluoro-4-[bis(2-chloroethyl)amino]benzoyl}-L-glutamic acid;

{3,5-difluoro-4-[bis(2-bromoethyl)amino]benzoyl}-L-glutamic acid;

{2,3,5-trifluoro-4-[bis(2-chloroethyl)amino]benzoyl}-L-glutamic acid;

{2,3,5-trifluoro-4-[bis(2-bromoethyl)amino]benzoyl}-L-glutamic acid;

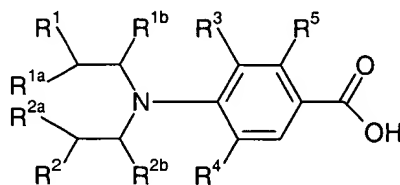
{2,3,5-trifluoro-4-[bis(2-iodoethyl)amino]benzoyl}-L-glutamic acid;

{3,5-difluoro-4-[bis(2-bromopropyl)amino] benzoyl}-L-glutamic acid;  
{3-trifluoromethyl-4-[bis(2-bromoethyl)amino] benzoyl}-L-glutamic acid; and,  
the di-*tert*-butyl esters thereof.

85. (new) A compound selected from:

{3,5-difluoro-4-[bis(2-iodoethyl)amino]benzoyl}-L-glutamic acid;  
and, the di-*tert*-butyl ester thereof.

86. (new) A compound of Formula II:



wherein:

R<sup>1</sup> is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

R<sup>2</sup> is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

wherein Ph denotes a phenyl group which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from a C<sub>1-4</sub> alkyl group, -F, -Cl, -Br, -I, -CN, or -NO<sub>2</sub>;

R<sup>1a</sup> is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

R<sup>2a</sup> is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

R<sup>1b</sup> is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

R<sup>2b</sup> is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^3$  is -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CH<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub>;

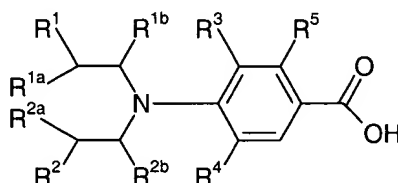
$R^4$  is -H, -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CH<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub>;

$R^5$  is -H or -F;

with the proviso that if  $R^4$  is -H, then  $R^3$  is not -F; and,

with the proviso that if  $R^1$  is -Cl,  $R^2$  is -Cl,  $R^{1a}$  is -H,  $R^{2a}$  is -H,  $R^{1b}$  is -H,  $R^{2b}$  is -H,  $R^4$  is -H, and  $R^5$  is -H, then  $R^3$  is not -CH<sub>3</sub>.

87. (new) A compound of Formula II:



wherein:

$R^1$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

$R^2$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

wherein Ph denotes a phenyl group which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from a C<sub>1-4</sub> alkyl group, -F, -Cl, -Br, -I, -CN, or -NO<sub>2</sub>;

$R^{1a}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^{2a}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^{1b}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^{2b}$  is -H, a  $C_{1-4}$ alkyl group, or a  $C_{1-4}$ haloalkyl group ;

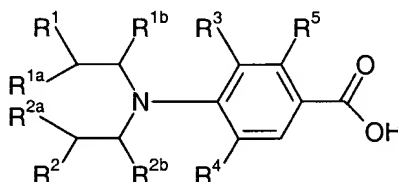
$R^3$  is -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub> ;

$R^4$  is -H, -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub> ;

$R^5$  is -H or -F;

with the proviso that if  $R^4$  is -H, then  $R^3$  is not -F.

88. (new) A compound of Formula II:



wherein:

$R^1$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

$R^2$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

wherein Ph denotes a phenyl group which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from a  $C_{1-4}$  alkyl group, -F, -Cl, -Br, -I, -CN, or -NO<sub>2</sub>;

$R^{1a}$  is -H, a  $C_{1-4}$ alkyl group, or a  $C_{1-4}$ haloalkyl group ;

$R^{2a}$  is -H, a  $C_{1-4}$ alkyl group, or a  $C_{1-4}$ haloalkyl group ;

$R^{1b}$  is -H, a  $C_{1-4}$ alkyl group, or a  $C_{1-4}$ haloalkyl group ;

$R^{2b}$  is -H, a  $C_{1-4}$ alkyl group, or a  $C_{1-4}$ haloalkyl group ;

$R^3$  and  $R^4$  are -CF<sub>3</sub> and -H, respectively,

or  $R^3$  and  $R^4$  are both -F; and

$R^5$  is -H or -F.

89. (new) A compound according to claim 86, wherein:  $R^1$  and  $R^2$  are independently -I, -Br, or -Cl.

90. (new) A compound according to claim 86, wherein:  $R^1$  and  $R^2$  are both -I.

91. (new) A compound according to claim 86, wherein:

$R^{1a}$ ,  $R^{1b}$ ,  $R^{2a}$ ,  $R^{2b}$  are each independently -H or -CH<sub>3</sub>.

92. (new) A compound according to claim 86, wherein:  $R^{1a}$ ,  $R^{1b}$ ,  $R^{2a}$ ,  $R^{2b}$  are all

-H.

93. (new) A compound according to claim 86, wherein:

(a)  $R^3$  and  $R^4$  are -CF<sub>3</sub> and -H, respectively; or,

(b)  $R^3$  and  $R^4$  are both -F.

94. (new) A compound according to claim 86, wherein:

(a)  $R^3$  and  $R^4$  are -CF<sub>3</sub> and -H, respectively; and,  $R^5$  is -H; or,

(b)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -F; or,

(c)  $R^3$  and  $R^4$  are both -F; and,  $R^5$  is -H.

95. (new) A compound according to claim 86 selected from:

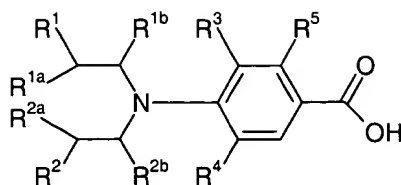
3,5-difluoro-4-[bis(2-iodoethyl)amino]benzoic acid;  
3,5-difluoro-4-[bis(2-chloroethyl)amino]benzoic acid;  
3,5-difluoro-4-[bis(2-bromoethyl)amino]benzoic acid;  
2,3,5-trifluoro-4-[bis(2-chloroethyl)amino]benzoic acid;  
2,3,5-trifluoro-4-[bis(2-bromoethyl)amino]benzoic acid;  
2,3,5-trifluoro-4-[bis(2-iodoethyl)amino]benzoic acid;  
3,5-difluoro-4-[bis(2-bromopropyl)amino]benzoic acid; and,  
3-trifluoromethyl-4-[bis(2-bromoethyl)amino]benzoic acid.

96. (new) A composition comprising a compound according to claim 48, and a pharmaceutically acceptable carrier or diluent.

97. (new) A composition comprising a compound according to claim 86, and a pharmaceutically acceptable carrier or diluent.

98. (new) A two-component system comprising:

(i) a first component capable of delivering a carboxypeptidase enzyme to the interior or exterior of a target cell or a vector encoding said enzyme to the interior of said cell such that said vector expresses said enzyme in said cell, and  
(ii) a prodrug of according to claim 48 capable of being converted by said enzyme into a compound of Formula II:



wherein:

$R^1$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

$R^2$  is -Cl, -Br, -I, -OSO<sub>2</sub>CH<sub>3</sub>, or -OSO<sub>2</sub>Ph ;

wherein Ph denotes a phenyl group which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from a C<sub>1-4</sub> alkyl group, -F, -Cl, -Br, -I, -CN, or -NO<sub>2</sub>;

$R^{1a}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^{2a}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^{1b}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^{2b}$  is -H, a C<sub>1-4</sub>alkyl group, or a C<sub>1-4</sub>haloalkyl group ;

$R^3$  is -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CH<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub>;

$R^4$  is -H, -F, -Cl, -Br, -I, -OCHF<sub>2</sub>, -C≡CH, -OCF<sub>3</sub>, -CH<sub>3</sub>, -CF<sub>3</sub>, -SF<sub>5</sub>, -SCF<sub>3</sub>, or -CF<sub>2</sub>CF<sub>3</sub>;

$R^5$  is -H or -F;

with the proviso that if  $R^4$  is -H, then  $R^3$  is not -F; and,

with the proviso that if  $R^1$  is -Cl,  $R^2$  is -Cl,  $R^{1a}$  is -H,  $R^{2a}$  is -H,  $R^{1b}$  is -H,  $R^{2b}$  is -H,  $R^4$  is -H, and  $R^5$  is -H, then  $R^3$  is not -CH<sub>3</sub>.

99. (new) A kit comprising:

(a) a compound according to claim 48; and,

(b) one of:

(i) an immunoglobulin/enzyme fusion protein or conjugate in which the immunoglobulin is specific for a cellular antigen and the enzyme is a carboxypeptidase enzyme;

(ii) a ligand/enzyme conjugate or fusion protein, the ligand being specific for a cellular antigen and the enzyme is a carboxypeptidase enzyme;

(iii) a vector which encodes a carboxypeptidase enzyme which can be expressed in a cell.

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100. (new) A method for the treatment of cancer comprising administering to a subject suffering from cancer a therapeutically-effective amount of a compound according to claim 48.

101. (new) A method for the treatment of cancer comprising administering to a subject suffering from cancer a therapeutically-effective amount of a compound according to claim 85.

102. (new) A method for the treatment of cancer comprising administering to a subject suffering from cancer a therapeutically-effective amount of a compound according to claim 86.--